

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
9 September 2005 (09.09.2005)

PCT

(10) International Publication Number
WO 2005/082867 A1

(51) International Patent Classification⁷: **C07D 253/10**,
401/12, A61K 31/53, A61P 35/00

PCHALEK, Karin [DE/NZ]; 15/27 Birdwood Crescent,
Parnell, Auckland (NZ).

(21) International Application Number:
PCT/NZ2005/000034

(74) Agent: **BALDWINS**; PO Box 852, Wellington (NZ).

(22) International Filing Date: 1 March 2005 (01.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
531499 1 March 2004 (01.03.2004) NZ

(81) Designated States (*unless otherwise indicated, for every kind of national protection available*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for all designated States except US*): **AUCKLAND UNISERVICES LIMITED** [NZ/NZ]; Level 10,, 70 Symonds Street,, NZ-1001 Auckland (NZ).

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **DENNY, William, Alexander** [NZ/NZ]; 165 Gossamer Drive, Pakuranga, NZ-1706 Auckland (NZ). **HAY, Michael, Patrick** [NZ/NZ]; 52 St Leonards Road, Epsom, NZ-1003 Auckland (NZ). **HICKS, Kevin, Owen** [NZ/NZ]; 26 Duke Street, Mount Roskill, NZ-1004 Auckland (NZ). **PRUIJN, Frederik, Bastiaan** [NL/NZ]; 1052 Scenic Drive, Swanson, NZ-1008 Auckland (NZ). **WILSON, William, Robert** [NZ/NZ]; 199 Smith Road, RD2, Waiuku (NZ).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL 1,2,4-BENZOTRIAZINE-1,4-DIOXIDES

(57) Abstract: The present invention provides a simplified set of characteristics that can be used to select 1,2,4 benzotriazine 1,4 dioxide compounds (TPZ analogues) with therapeutic activity against hypoxic cells in human tumour xenografts, and to further provide a novel class of 1,2,4-benzotriazine-1,4-dioxides (TPZ analogues) with predicted in vivo activity against tumours, to their preparation, and to their use as hypoxia-selective cytotoxic drugs and radiosensitizers for cancer therapy, both alone or in combination with radiation and/or other anticancer drugs.

WO 2005/082867 A1